

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
3 February 2005 (03.02.2005)

PCT

(10) International Publication Number
WO 2005/009982 A3

(51) International Patent Classification⁷: **C07D 417/04**,
275/06, A61K 31/425

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(21) International Application Number:
PCT/US2004/021914

(22) International Filing Date: 9 July 2004 (09.07.2004)

(25) Filing Language: English

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(26) Publication Language: English

(30) Priority Data:
60/485,958 10 July 2003 (10.07.2003) US

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(71) Applicant (for all designated States except US): NEURO-GEN CORPORATION [US/US]; 35 Northeast Industrial Road, Branford, CT 06405 (US).

(88) Date of publication of the international search report:
12 May 2005

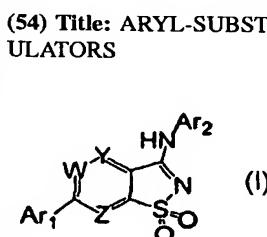
(72) Inventors; and
(75) Inventors/Applicants (for US only): BLUM, Charles, A. [US/US]; 785 W. Pond Meadow Road, Westbrook, CT 06498 (US). ZHENG, Xiaozhang [CN/US]; 10Roby Court, Branford, CT 06405 (US).

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(74) Agents: ALEXANDER, John, B. et al.; Edwards & Angel, LLP, P.O. Box 55874, Boston, MA 02205 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

WO 2005/009982 A3



(57) Abstract: Aryl-substituted benzo[d]isothiazol-3-ylamine analogues are provided, of the Formula (I): wherein variables are as described herein. Such compounds are ligands that may be used to modulate capsaicin in vivo or in vitro, and are particularly useful in the treatment of conditions associated with pathological capsaicin receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compositions and methods for using such compounds to treat such disorders are provided, as are methods for using such ligands for receptor localization studies.